

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: SSPTA:IDA1614

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

| | |
|---------|--|
| NEWS 1 | Web Page for STN Seminar Schedule - N. America |
| NEWS 2 | JAN 12 Match STN Content and Features to Your Information Needs, Quickly and Conveniently |
| NEWS 3 | JAN 25 Annual Reload of MEDLINE database |
| NEWS 4 | FEB 16 STN Express Maintenance Release, Version 8.4.2, Is Now Available for Download |
| NEWS 5 | FEB 16 Derwent World Patents Index (DWPI) Revises Indexing of Author Abstracts |
| NEWS 6 | FEB 16 New FASTA Display Formats Added to USGENE and PCTGEN |
| NEWS 7 | FEB 16 INPADOCDB and INPAFAMDB Enriched with New Content and Features |
| NEWS 8 | FEB 16 INSPEC Adding Its Own IPC codes and Author's E-mail Addresses |
| NEWS 9 | APR 02 CAS Registry Number Crossover Limits Increased to 500,000 in Key STN Databases |
| NEWS 10 | APR 02 PATDFAFULL: Application and priority number formats enhanced |
| NEWS 11 | APR 02 DWPI: New display format ALLSTR available |
| NEWS 12 | APR 02 New Thesaurus Added to Derwent Databases for Smooth Sailing through U.S. Patent Codes |
| NEWS 13 | APR 02 EMBASE Adds Unique Records from MEDLINE, Expanding Coverage back to 1948 |
| NEWS 14 | APR 07 CA/Caplus CLASS Display Streamlined with Removal of Pre-IPC 8 Data Fields |
| NEWS 15 | APR 07 50,000 World Traditional Medicine (WTM) Patents Now Available in Caplus |
| NEWS 16 | APR 07 MEDLINE Coverage Is Extended Back to 1947 |

NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
AND CURRENT DISCOVER FILE IS DATED 15 JANUARY 2010.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN customer agreement. This agreement limits use to scientific research. Use for software development or design, implementation of commercial gateways, or use of CAS and STN data in the building of commercial products is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 11:40:40 ON 07 JUN 2010

| => file registry | COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|----------------------|------------------|---------------|
| FULL ESTIMATED COST | | 0.22 | 0.22 |

FILE 'REGISTRY' ENTERED AT 11:41:12 ON 07 JUN 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 3 JUN 2010 HIGHEST RN 1226953-63-4
DICTIONARY FILE UPDATES: 3 JUN 2010 HIGHEST RN 1226953-63-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> s dovitinib
L1 2 DOVITINIB

=> d 11 1-2

L1 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2010 ACS on STN
RN 692737-80-7 REGISTRY
ED Entered STN: 14 Jun 2004
CN Propanoic acid, 2-hydroxy-, compd. with
4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-
quinolinone (1:1) (CA INDEX NAME)

OTHER CA INDEX NAMES:

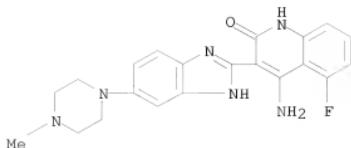
CN Propanoic acid, 2-hydroxy-, compd. with
4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-
quinolinone (1:1) (9CI)

OTHER NAMES:

CN CHIR 258
CN Dovitinib lactate
CN TKI 258
DR 1000873-96-0
MF C21 H21 F N6 O . C3 H6 O3
SR CA
LC STN Files: CA, CAPLUS, CASREACT, CHEMCATS, TOXCENTER, USPATFULL

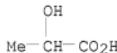
CM 1

CRN 405169-16-6
CMF C21 H21 F N6 O



CM 2

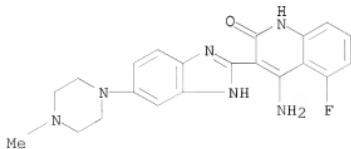
CRN 50-21-5
CMF C3 H6 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

68 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
69 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L1 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2010 ACS on STN
RN 405169-16-6 REGISTRY
ED Entered STN: 12 Apr 2002
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI)
OTHER NAMES:
CN 4-Amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one
CN Dovitinib
DR 804551-71-1
MF C21 H21 F N6 O
CI COM
SR CA
LC STN Files: ADISINSIGHT, CA, CAPLUS, CASREACT, CHEMCATS, EMBASE, IMSDRUGNEWS, IMSRESEARCH, PROUSDDR, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

26 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
26 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus
COST IN U.S. DOLLARS

| | SINCE FILE | TOTAL |
|---------------------|------------|---------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 10.19 | 10.41 |

FILE 'CAPLUS' ENTERED AT 11:41:33 ON 07 JUN 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 7 Jun 2010 VOL 152 ISS 24
FILE LAST UPDATED: 6 Jun 2010 (20100606/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2010

CPlus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at: www.cas.org/casinfo

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 11
L2 76 L1

```
=> s 12 and (cancer or tumor or neoplasm)
458652 CANCER
67289 CANCERS
475156 CANCER
          (CANCER OR CANCERS)
547410 TUMOR
196404 TUMORS
607096 TUMOR
          (TUMOR OR TUMORS)
4892 TUMOUR
1843 TUMOURS
6616 TUMOUR
          (TUMOUR OR TUMOURS)
607544 TUMOR
          (TUMOR OR TUMOUR)
598060 NEOPLASM
39994 NEOPLASMS
```

615483 NEOPLASM
(NEOPLASM OR NEOPLASMS)

L3 54 L2 AND (CANCER OR TUMOR OR NEOPLASM)

=> s 13 and ad<20031107
4779868 AD<20031107
(AD<20031107)
L4 3 L3 AND AD<20031107

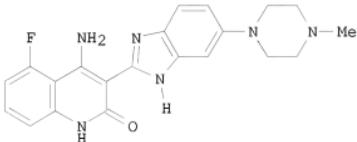
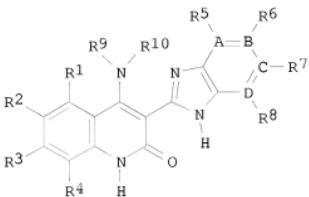
=> dup rem 14
PROCESSING COMPLETED FOR L4
L5 3 DUP REM L4 (0 DUPLICATES REMOVED)

=> d 15 1-3 ibib abs hitstr

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:1242789 CAPLUS
DOCUMENT NUMBER: 143:477969
TITLE: Preparation of benzimidazole quinolinones for
inhibiting FGFR3 and treating multiple myeloma
INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla
C.; Machajewski, Timothy D.; Ryckman, David; Shang,
Xiao; Wiesmann, Marion; Zhu, Shuguang
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 239 pp., Cont.-in-part of U.S.
Ser. No. 644,055.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|-----------------|-----------------|--------------|
| US 20050261307 | A1 | 20051124 | US 2004-983174 | 20041105 |
| US 20040092535 | A1 | 20040513 | US 2003-644055 | 20030819 <-- |
| US 7470709 | B2 | 20081230 | | |
| CN 1692112 | A | 20051102 | CN 2003-824565 | 20030819 <-- |
| CN 100526312 | C | 20090812 | | |
| US 20050203101 | A1 | 20050915 | US 2004-839793 | 20040505 |
| ZA 2006003598 | A | 20080430 | ZA 2006-3598 | 20060505 |
| US 20090281100 | A1 | 20091112 | US 2008-317493 | 20081223 |
| US 20090181979 | A1 | 20090716 | US 2009-398130 | 20090304 |
| AU 2009238373 | A1 | 20091217 | AU 2009-238373 | 20091120 |
| PRIORITY APPLN. INFO.: | | | | |
| | | US 2002-405729P | P | 20020823 |
| | | US 2002-426107P | P | 20021113 |
| | | US 2002-426226P | P | 20021113 |
| | | US 2002-426282P | P | 20021113 |
| | | US 2002-428210P | P | 20021121 |
| | | US 2003-460327P | P | 20030403 |
| | | US 2003-460328P | P | 20030403 |
| | | US 2003-460493P | P | 20030403 |
| | | US 2003-478916P | P | 20030616 |
| | | US 2003-484048P | P | 20030701 |
| | | US 2003-644055 | A2 | 20030819 |
| | | US 2003-517915P | P | 20031107 |
| | | US 2003-526425P | P | 20031202 |
| | | US 2003-526426P | P | 20031202 |
| | | US 2004-546017P | P | 20040219 |
| | | US 2002-426204P | P | 20021113 |
| | | US 2003-460369P | P | 20030403 |
| | | AU 2003-290699 | A3 | 20031112 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 143:477969
 GI



AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10 μ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 α , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR α , and PDGFR β . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR α , and PDGFR β with IC50 values of less than 1 μ M. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibited FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

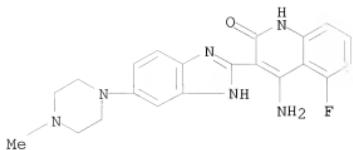
IT 405169-16-6P 692737-80-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

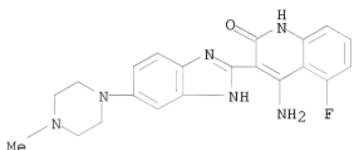
RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)

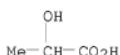


Me RN 692737-80-7 CAPLUS
 CN Propanoic acid, 2-hydroxy-, compd. with
 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-
 quinolinone (1:1) (CA INDEX NAME)

CM 1
 CRN 405169-16-6
 CMF C21 H21 F N6 O



Me CM 2
 CRN 50-21-5
 CMF C3 H6 O3



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
 (4 CITINGS)

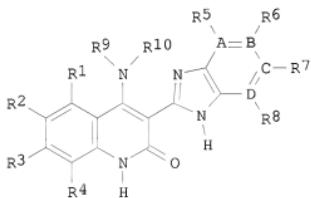
L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2005:1223876 CAPLUS
 DOCUMENT NUMBER: 143:477966
 TITLE: Preparation of benzimidazole quinolinones for
 inhibiting a checkpoint kinase 1 and their use in
 combination therapy for cancer
 INVENTOR(S): Gesner, Thomas G.; Barsanti, Paul A.; Harrison,
 Stephen D.; Ni, Zhi-Jie; Brammeier, Nathan M.; Zhou,
 Yasheen; Le, Vincent P.
 PATENT ASSIGNEE(S): Chiron Corporation, USA
 SOURCE: U.S. Pat. Appl. Publ., 249 pp., Cont.-in-part of U.S.
 Ser. No. 644,055.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|--------------|
| US 20050256157 | A1 | 20051117 | US 2005-41191 | 20050121 |
| US 20040092535 | A1 | 20040513 | US 2003-644055 | 20030819 <-- |
| US 7470709 | B2 | 20081230 | | |
| CN 1692112 | A | 20051102 | CN 2003-824565 | 20030819 <-- |
| CN 100526312 | C | 20090812 | | |
| US 20050203101 | A1 | 20050915 | US 2004-839793 | 20040505 |
| US 20090281100 | A1 | 20091112 | US 2008-317493 | 20081223 |
| AU 2009238373 | A1 | 20091217 | AU 2009-238373 | 20091120 |
| PRIORITY APPLN. INFO.: | | | US 2002-405729P | P 20020823 |
| | | | US 2002-426107P | P 20021113 |
| | | | US 2002-426226P | P 20021113 |
| | | | US 2002-426282P | P 20021113 |
| | | | US 2002-428210P | P 20021121 |
| | | | US 2003-460327P | P 20030403 |
| | | | US 2003-460328P | P 20030403 |
| | | | US 2003-460493P | P 20030403 |
| | | | US 2003-478916P | P 20030616 |
| | | | US 2003-480448P | P 20030701 |
| | | | US 2003-644055 | A2 20030819 |
| | | | US 2004-538984P | P 20040123 |
| | | | US 2002-426204P | P 20021113 |
| | | | US 2003-460369P | P 20030403 |
| | | | US 2003-517915P | P 20031107 |
| | | | AU 2003-290699 | A3 20031112 |

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:477966; MARPAT 143:477966
 GI



AB The title compds. [I; A, B, C, D = C, N; R1 = H, halo, CN, NO₂, etc.; R2, R3 = H, halo, NO₂, CN, etc.; R4 = H, (un)substituted alkyl; R5, R8 = H, (un)substituted alkyl, alkenyl, heterocyclyl; or R5 may be absent if A = N; or R8 may be absent if D = N; R6, R7 = H, halo, NO₂, CN, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or R9 and R10 join together to form one or more rings, each having 5-7 members], useful for inhibiting checkpoint kinase 1, inducing cell cycle progression, and increasing apoptosis in cells, were prepared. E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The compds. I, were tested against various kinases. Two of the prepared compds. I, 4-[(3S)-1-azabicyclo[2.2.2]oct-3-ylamino]-3-(1H-benzimidazol-2-yl)-6-chloroquinolin-2-(1H)-one and 6-chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[(piperidin-

2-(4-methyl-1-piperazinyl)-4-(2-ylmethyl)amino]quinolin-2(1H)-one, were found to be potent inhibitors of CHK1 with IC₅₀ of 0.32 nM and 0.63 nM, resp. The majority of the exemplary compds. I displayed an IC₅₀ of less than 10 μ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 ϵ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60 σ rc, FGFR3, FLT-3, PDGFR α , and PDGFR β . In addition, many of the exemplary compds. exhibited IC₅₀ values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR α , and PDGFR β with IC₅₀ values of less than 1 μ M. The compds. I may be used to prepare pharmaceutical compns. and may be used in conjunction with DNA damaging agents.

IT 405169-16-6P 692737-80-7P

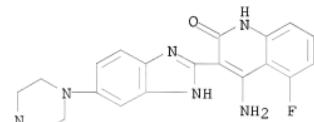
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

RN 405169-16-6 CAPLUS

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)

Me



RN 692737-80-7 CAPLUS

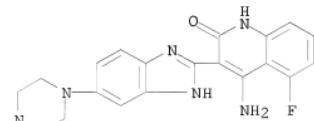
CN Propanoic acid, 2-hydroxy-, compd. with 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone (1:1) (CA INDEX NAME)

CM 1

CRN 405169-16-6

CMF C21 H21 F N6 O

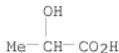
Me



CM 2

CRN 50-21-5

CMF C3 H6 O3



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

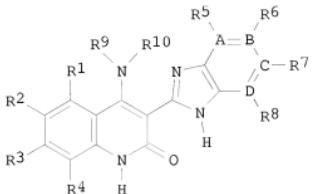
L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2004:182836 CAPLUS
 DOCUMENT NUMBER: 140:235711
 TITLE: Preparation of benzimidazole quinolinones for
 inhibiting a serine/threonine kinase
 INVENTOR(S): Barsanti, Paul A.; Bussiere, Dirksen; Harrison,
 Stephen D.; Heise, Carla C.; Jansen, Johanna M.;
 Jazan, Elisa; Machajewski, Timothy D.; McBride,
 Christopher; McCrea, William R.; Ng, Simon; Ni,
 Zhi-Jie; Pecchi, Sabina; Pfister, Keith; Ramurthy,
 Savithri; Renhowe, Paul A.; Shafer, Cynthia M.;
 Silver, Joel B.; Wagman, Allan; Weismann, Marion
 PATENT ASSIGNEE(S): Chiron Corporation, USA
 SOURCE: PCT Int. Appl., 570 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2004018419 | A2 | 20040304 | WO 2003-US25990 | 20030819 <-- |
| WO 2004018419 | A3 | 20040603 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2496164 | A1 | 20040304 | CA 2003-2496164 | 20030819 <-- |
| AU 2003288899 | A1 | 20040311 | AU 2003-288899 | 20030819 <-- |
| AU 2003288899 | B2 | 20090903 | | |
| EP 1539754 | A2 | 20050615 | EP 2003-781286 | 20030819 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003013743 | A | 20050705 | BR 2003-13743 | 20030819 <-- |
| CN 1692112 | A | 20051102 | CN 2003-824565 | 20030819 <-- |
| CN 100526312 | C | 20090812 | | |
| JP 2006503919 | T | 20060202 | JP 2005-501762 | 20030819 <-- |
| IN 2005KN00484 | A | 20060106 | IN 2005-KN484 | 20050323 |
| AU 2009238373 | A1 | 20091217 | AU 2009-238373 | 20091120 |
| PRIORITY APPLN. INFO.: | | | US 2002-405729P | P 20020823 |
| | | | US 2002-426107P | P 20021113 |
| | | | US 2002-426226P | P 20021113 |
| | | | US 2002-426282P | P 20021113 |
| | | | US 2002-428210P | P 20021121 |
| | | | US 2003-460327P | P 20030403 |
| | | | US 2003-460328P | P 20030403 |

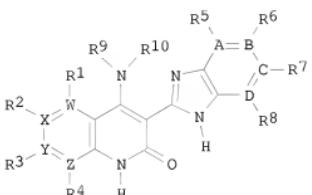
| | |
|-----------------|-------------|
| US 2003-460493P | P 20030403 |
| US 2003-478916P | P 20030616 |
| US 2003-484048P | P 20030701 |
| US 2002-426204P | P 20021113 |
| US 2003-460369P | P 20030403 |
| WO 2003-US25990 | W 20030819 |
| US 2003-517915P | P 20031107 |
| AU 2003-290699 | A3 20031112 |

OTHER SOURCE(S) :
GI

MARPAT 140:235/11



I



II

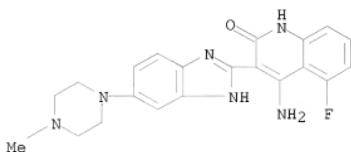
AB The title compds. [I and II; A, B, C, and D = C, N; W, X, Y and Z = C, N and at least one of W, X, Y, and Z = N; R1-R8 = H, halo, CN, NO₂, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or NR9R10 = 5-7 membered ring], useful for inhibiting various enzymes and treating various conditions, were prepared. E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The majority of the exemplary compds. I displayed an IC₅₀ of less than 10 μ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 α , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR α , and PDGFR β . In addition, many of the exemplary compds. exhibited IC₅₀ values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR α , and PDGFR β with IC₅₀ values of less than 1 μ M.

IT 405169-16-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 405169-16-6 CAPLUS
CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)

=> d his

(FILE 'HOME' ENTERED AT 11:40:40 ON 07 JUN 2010)

FILE 'REGISTRY' ENTERED AT 11:41:12 ON 07 JUN 2010
L1 2 S DOVITINIB

FILE 'CAPLUS' ENTERED AT 11:41:33 ON 07 JUN 2010
L2 76 S L1
L3 54 S L2 AND (CANCER OR TUMOR OR NEOPLASM)
L4 3 S L3 AND AD<20031107
L5 3 DUP REM L4 (0 DUPLICATES REMOVED)

=> file medline embase biosis

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 30.17 | 40.58 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -2.55 | -2.55 |

FILE 'MEDLINE' ENTERED AT 11:45:31 ON 07 JUN 2010

FILE 'EMBASE' ENTERED AT 11:45:31 ON 07 JUN 2010
Copyright (c) 2010 Elsevier B.V. All rights reserved.

FILE 'BIOSIS' ENTERED AT 11:45:31 ON 07 JUN 2010
Copyright (c) 2010 The Thomson Corporation

=> s 11 or 11<chem>

SmartSELECT INITIATED
New TRANSFER and ANALYZE Commands Now Available
See HELP TRANSFER and HELP ANALYZE for Details

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 3.33 | 43.91 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |

CA SUBSCRIBER PRICE 0.00 -2.55

FILE 'REGISTRY' ENTERED AT 11:45:39 ON 07 JUN 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 American Chemical Society (ACS)

SET SMARTSELECT ON
SET COMMAND COMPLETED

SEL L1 1- CHEM
L6 SEL L1 1- CHEM : 9 TERMS

SET SMARTSELECT OFF
SET COMMAND COMPLETED

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 15.49 | 59.40 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -2.55 |

FILE 'MEDLINE' ENTERED AT 11:45:40 ON 07 JUN 2010

FILE 'EMBASE' ENTERED AT 11:45:40 ON 07 JUN 2010
Copyright (c) 2010 Elsevier B.V. All rights reserved.

FILE 'BIOSIS' ENTERED AT 11:45:40 ON 07 JUN 2010
Copyright (c) 2010 The Thomson Corporation

S L1 OR L6

L8 126 L1 OR L7

=> s 18 and pd<20031107
1 FILES SEARCHED...

L9 5 L8 AND PD<20031107

=> dup rem 19
PROCESSING COMPLETED FOR L9
L10 5 DUP REM L9 (0 DUPLICATES REMOVED)

=> d 110 1-5 ibib abs

L10 ANSWER 1 OF 5 EMBASE COPYRIGHT (c) 2010 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 2003373828 EMBASE
TITLE: Anti-cancer drug discovery and development summit.
AUTHOR: Blakey, David C. (correspondence)
CORPORATE SOURCE: AstraZeneca, Alderley Park, Macclesfield, Cheshire SK10 4TF, United Kingdom. david.blakey@astrazeneca.com
SOURCE: Expert Opinion on Investigational Drugs, (1 Sep 2003) Vol. 12, No. 9, pp. 1577-1582.
Refs: 15
ISSN: 1354-3784 CODEN: EOIDER
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Conference Article; (Conference paper)
FILE SEGMENT: 016 Cancer

030 Clinical and Experimental Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 2 Oct 2003
Last Updated on STN: 2 Oct 2003
AB The 5th Annual Anti-Cancer Drug Discovery and Development Summit brought together an international group of academic and industry scientists to discuss recent therapeutic developments in the field of oncology. The focus of the meeting was novel targeted approaches, i.e., those agents directed against targets that are overexpressed or overactive in tumour cells. It was acknowledged that cytotoxic agents will continue to play a key role in the treatment of cancer and new developments in this area were also discussed. With over 400 anticancer drugs in clinical development and a number of recent registrations, there is great optimism that significant therapeutic advances can be made.

L10 ANSWER 2 OF 5 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:501918 BIOSIS
DOCUMENT NUMBER: PREV200300498316
TITLE: Preclinical pharmacokinetics and metabolism of CHIR258, a potent tyrosine kinase inhibitor.
AUTHOR(S): Vora, Jayesh [Reprint Author]; Haraldsen, Peter [Reprint Author]; Renhowe, Paul [Reprint Author]; Heise, Carla [Reprint Author]; Steigerwald, Ronald [Reprint Author]; Todd, Marque [Reprint Author]; Harris, Alex [Reprint Author]; Samara, Emil [Reprint Author]
CORPORATE SOURCE: Chiron Corporation, Emeryville, CA, USA
SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (July 2003) Vol. 44, pp. 753. print.
Meeting Info.: 94th Annual Meeting of the American Association for Cancer Research. Washington, DC, USA. July 11-14, 2003.
ISSN: 0197-016X.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 29 Oct 2003
Last Updated on STN: 29 Oct 2003

L10 ANSWER 3 OF 5 EMBASE COPYRIGHT (c) 2010 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 2003363876 EMBASE
TITLE: American Association for Cancer Research - 9th Annual Meeting: Investigating drugs: 11-14 July 2003, Washington, DC, USA.
AUTHOR: Mackay, Janie (correspondence); Williams, Laura
CORPORATE SOURCE: Thomson Current Drugs, Middlesex House, 34-42 Cleveland Street, London W1T 4JE, United Kingdom. laura.williams@current-drugs.com
SOURCE: IDrugs, (1 Aug 2003) Vol. 6, No. 8, pp. 736-738.
ISSN: 1369-7056 CODEN: IDRUFN
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Conference Article; (Conference paper)
FILE SEGMENT: 016 Cancer
030 Clinical and Experimental Pharmacology
036 Health Policy, Economics and Management
037 Drug Literature Index
038 Adverse Reactions Titles
052 Toxicology

LANGUAGE: English
ENTRY DATE: Entered STN: 25 Sep 2003
Last Updated on STN: 25 Sep 2003

L10 ANSWER 4 OF 5 EMBASE COPYRIGHT (c) 2010 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2003481481 EMBASE
TITLE: The impact of anti-angiogenic agents on cancer therapy.
AUTHOR: Marme, Dieter (correspondence)
CORPORATE SOURCE: Tumor Biology Center, Institute of Molecular Oncology, Breisacherstrasse 117, 79106 Freiburg, Germany. marme@tumor bio.uni-freiburg.de
SOURCE: Journal of Cancer Research and Clinical Oncology, (Nov 2003) Vol. 129, No. 11, pp. 607-620.
Refs: 89
ISSN: 0171-5216 CODEN: JCROD7
COUNTRY: Germany
DOCUMENT TYPE: Journal; General Review; (Review)
FILE SEGMENT: 016 Cancer
030 Clinical and Experimental Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
ENTRY DATE: Entered STN: 29 Dec 2003
Last Updated on STN: 29 Dec 2003

L10 ANSWER 5 OF 5 EMBASE COPYRIGHT (c) 2010 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2003276961 EMBASE
TITLE: Kinases - SMI Conference 9-10 April 2003, London, UK.
AUTHOR: Harrison, Ruth (correspondence)
CORPORATE SOURCE: Thomson Current Drugs, Middlesex House, 34-42 Cleveland Street, London W1T 4LB, United Kingdom. ruth.harrison@current-drugs.com
SOURCE: IDrugs, (1 Jun 2003) Vol. 6, No. 6, pp. 560-562.
ISSN: 1369-7056 CODEN: IDRUFN
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Conference Article; (Conference paper)
FILE SEGMENT: 029 Clinical and Experimental Biochemistry
030 Clinical and Experimental Pharmacology
031 Arthritis and Rheumatism
037 Drug Literature Index
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 24 Jul 2003
Last Updated on STN: 24 Jul 2003

AB Dr. Moss briefly summed up the conference by describing the growth in the development of kinase research over the years and the commitment being invested by companies aiming to find effective screening strategies. He closed the day by remarking on the new challenge for researchers of turning the concepts discussed into successful drugs.

=> d his

(FILE 'HOME' ENTERED AT 11:40:40 ON 07 JUN 2010)

FILE 'REGISTRY' ENTERED AT 11:41:12 ON 07 JUN 2010
L1 2 S DOVITINIB

FILE 'CAPLUS' ENTERED AT 11:41:33 ON 07 JUN 2010
L2 76 S L1

L3 54 S L2 AND (CANCER OR TUMOR OR NEOPLASM)
L4 3 S L3 AND AD<20031107
L5 3 DUP REM L4 (0 DUPLICATES REMOVED)

FILE 'MEDLINE, EMBASE, BIOSIS' ENTERED AT 11:45:31 ON 07 JUN 2010

FILE 'REGISTRY' ENTERED AT 11:45:39 ON 07 JUN 2010
SET SMARTSELECT ON

L6 SEL L1 1- CHEM : 9 TERMS
SET SMARTSELECT OFF

FILE 'MEDLINE, EMBASE, BIOSIS' ENTERED AT 11:45:40 ON 07 JUN 2010

L7 126 S L6
L8 126 S L1 OR L7
L9 5 S L8 AND PD<20031107
L10 5 DUP REM L9 (0 DUPLICATES REMOVED)

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 22.50 | 81.90 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -2.55 |

STN INTERNATIONAL LOGOFF AT 11:47:31 ON 07 JUN 2010